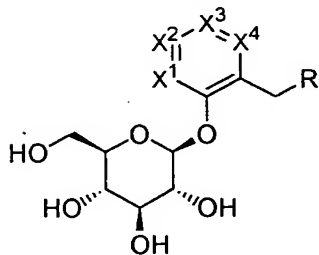


## CLAIMS

1. A nitrogen-containing heterocyclic derivative represented by the general formula:



[wherein  $X^1$  represents N or  $CR^1$ ;

$X^2$  represents N or  $CR^2$ ;

$X^3$  represents N or  $CR^3$ ;

$X^4$  represents N or  $CR^4$ ;

and with the proviso that one or two of  $X^1$ ,  $X^2$ ,  $X^3$  and  $X^4$  represent N;

R represents a  $C_{3-8}$  cycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent

group (A), a  $C_{6-10}$  aryl group which may have the same or different

1 to 3 groups selected from the following substituent group (B),

a  $C_{2-9}$  heterocycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (A), or

a  $C_{1-9}$  heteroaryl group which may have the same or different 1 to 3 groups selected from the following substituent group (B);

$R^1$  to  $R^4$  are the same or different, independently represents a hydrogen atom or a group selected from the following substituent group (D);

substituent group (A) consists of a halogen atom, a nitro group, a cyano group, an oxo group,  $-G^1$ ,  $-OG^2$ ,  $-SG^2$ ,  $-N(G^2)_2$ ,  $-C(=O)G^2$ ,

$-C(=O)OG^2$ ,  $-C(=O)N(G^2)_2$ ,  $-S(=O)_2G^2$ ,  $-S(=O)_2OG^2$ ,  $-S(=O)_2N(G^2)_2$ ,

$-S(=O)G^1$ ,  $-OC(=O)G^1$ ,  $-OC(=O)N(G^2)_2$ ,  $-NHC(=O)G^2$ ,  $-OS(=O)_2G^1$ ,

$-\text{NHS}(=\text{O})_2\text{G}^1$  and  $-\text{C}(=\text{O})\text{NHS}(=\text{O})_2\text{G}^1$ ;

substituent group(B) consists of a halogen atom, a nitro group, a cyano group,  $-\text{G}^1$ ,  $-\text{OG}^2$ ,  $-\text{SG}^2$ ,  $-\text{N}(\text{G}^2)_2$ ,  $-\text{G}^3\text{OG}^4$ ,  $-\text{G}^3\text{N}(\text{G}^4)_2$ ,  $-\text{C}(=\text{O})\text{G}^2$ ,  $-\text{C}(=\text{O})\text{OG}^2$ ,  $-\text{C}(=\text{O})\text{N}(\text{G}^2)_2$ ,  $-\text{S}(=\text{O})_2\text{G}^2$ ,  $-\text{S}(=\text{O})_2\text{OG}^2$ ,  $-\text{S}(=\text{O})_2\text{N}(\text{G}^2)_2$ ,  
 5  $-\text{S}(=\text{O})\text{G}^1$ ,  $-\text{OC}(=\text{O})\text{G}^1$ ,  $-\text{OC}(=\text{O})\text{N}(\text{G}^2)_2$ ,  $-\text{NHC}(=\text{O})\text{G}^2$ ,  $-\text{OS}(=\text{O})_2\text{G}^1$ ,  $-\text{NHS}(=\text{O})_2\text{G}^1$  and  $-\text{C}(=\text{O})\text{NHS}(=\text{O})_2\text{G}^1$

(in the substituent group (A) and/or (B),  $\text{G}^1$  represents a  $\text{C}_{1-6}$  alkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{2-6}$  alkenyl group  
 10 group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{2-6}$  alkynyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{3-8}$  cycloalkyl group which may have the same or different 1 to 3 groups selected from  
 15 the following substituent group (C), a  $\text{C}_{6-10}$  aryl group which may have the same or different 1 to 3 groups selected from the following substituent group (D), a  $\text{C}_{2-9}$  heterocycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{1-9}$  heteroaryl group  
 20 which may have the same or different 1 to 3 groups selected from the following substituent group (D);

$\text{G}^2$  represents a hydrogen atom, a  $\text{C}_{1-6}$  alkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{2-6}$  alkenyl group which may have the  
 25 same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{2-6}$  alkynyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $\text{C}_{3-8}$  cycloalkyl group which may have the same or different 1 to 3 groups selected from the following  
 30 substituent group (C), a  $\text{C}_{6-10}$  aryl group which may have the

same or different 1 to 3 groups selected from the following substituent group (D), a C<sub>2-9</sub> heterocycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (D), a C<sub>1-9</sub> heteroaryl group which may have the same or different 1 to 3 groups selected from the following substituent group (D), and with the proviso that G<sup>2</sup> are the same or different when there are more than one G<sup>2</sup> in the substituents; G<sup>3</sup> represents a C<sub>1-6</sub> alkyl group;

G<sup>4</sup> represents a C<sub>1-6</sub> alkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), and with the proviso that G<sup>4</sup> are the same or different when there are more than one G<sup>4</sup> in the substituents;

substituent group (C) consists of a halogen atom, a nitro group, a cyano group, an oxo group, -G<sup>5</sup>, -OG<sup>6</sup>, -SG<sup>6</sup>, -N(G<sup>6</sup>)<sub>2</sub>, -C(=O)G<sup>6</sup>, -C(=O)OG<sup>6</sup>, -C(=O)N(G<sup>6</sup>)<sub>2</sub>, -S(=O)<sub>2</sub>G<sup>6</sup>, -S(=O)<sub>2</sub>OG<sup>6</sup>, -S(=O)<sub>2</sub>N(G<sup>6</sup>)<sub>2</sub>, -S(=O)G<sup>5</sup>, -OC(=O)G<sup>5</sup>, -OC(=O)N(G<sup>6</sup>)<sub>2</sub>, -NHC(=O)G<sup>6</sup>, -OS(=O)<sub>2</sub>G<sup>5</sup>, -NHS(=O)<sub>2</sub>G<sup>5</sup> and -C(=O)NHS(=O)<sub>2</sub>G<sup>5</sup>;

substituent group (D) consists of a halogen atom, a nitro group, a cyano group, -G<sup>5</sup>, -OG<sup>6</sup>, -SG<sup>6</sup>, -N(G<sup>6</sup>)<sub>2</sub>, -C(=O)G<sup>6</sup>, -C(=O)OG<sup>6</sup>, -C(=O)N(G<sup>6</sup>)<sub>2</sub>, -S(=O)<sub>2</sub>G<sup>6</sup>, -S(=O)<sub>2</sub>OG<sup>6</sup>, -S(=O)<sub>2</sub>N(G<sup>6</sup>)<sub>2</sub>, -S(=O)G<sup>5</sup>, -OC(=O)G<sup>5</sup>, -OC(=O)N(G<sup>6</sup>)<sub>2</sub>, -NHC(=O)G<sup>6</sup>, -OS(=O)<sub>2</sub>G<sup>5</sup>, -NHS(=O)<sub>2</sub>G<sup>5</sup> and -C(=O)NHS(=O)<sub>2</sub>G<sup>5</sup>

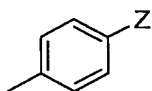
(in the substituent group (C) and/or (D), G<sup>5</sup> represents a C<sub>1-6</sub> alkyl group, a HO-C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>3-8</sub> cycloalkyl group, a C<sub>6-10</sub> aryl group, a C<sub>2-9</sub> heterocycloalkyl group or a C<sub>1-9</sub> heteroaryl group;

G<sup>6</sup> represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>3-8</sub> cycloalkyl group, a C<sub>6-10</sub> aryl group, a C<sub>2-9</sub> heterocycloalkyl group or a C<sub>1-9</sub> heteroaryl group, and with the proviso that G<sup>6</sup> are the same or different

when there are more than one  $G^6$  in the substituents))  
and with the proviso that when  $X^1$  and  $X^3$  independently represent  
N or CH;

$X^2$  represents N or  $CR^2$  (with the proviso that  $R^2$  represents a  
5 hydrogen atom, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{3-8}$  cycloalkyl  
group,  $-O-C_{1-6}$  alkyl, an amino group,  $-NH-C_{2-7}$  acyl,  $-NH-C_{1-6}$   
alkyl or  $-N(C_{1-6} \text{ alkyl})_2$ ); and

when  $X^4$  represents N or  $CR^4$  (with the proviso that  $R^4$  represents  
a hydrogen atom or a  $C_{1-6}$  alkyl group), R represents the  
10 above-defined group except for the following substituent:



(wherein Z represents a hydrogen atom, a halogen atom, a  $C_{1-6}$   
alkyl group which may have a substituent selected from the  
following substituent group ( $\alpha$ ),  $-O-C_{1-6}$  alkyl which may have  
15 a substituent selected from the following substituent group  
( $\beta$ ),  $-S-C_{1-6}$  alkyl which may have a substituent selected from  
the following substituent group ( $\beta$ ) or a  $C_{3-8}$  cycloalkyl group;  
substituent group ( $\alpha$ ) consists of a halogen atom, a hydroxy group  
and  $-O-C_{1-6}$  alkyl; and  
20 substituent group ( $\beta$ ) consists of a hydroxy group and  $-O-C_{1-6}$   
alkyl]] or a pharmaceutically acceptable salt thereof, or a  
prodrug thereof.

2. A nitrogen-containing heterocyclic derivative as claimed  
in claim 1 wherein R represents a phenyl group which may have  
25 the same or different 1 to 3 groups selected from the following  
substituent group (B), or a pharmaceutically acceptable salt  
thereof, or a prodrug thereof.

Substituent group (B) consists of a halogen atom, a nitro group,

a cyano group,  $-G^1$ ,  $-OG^2$ ,  $-SG^2$ ,  $-N(G^2)_2$ ,  $-G^3OG^4$ ,  $-G^3N(G^4)_2$ ,  $-C(=O)G^2$ ,  $-C(=O)OG^2$ ,  $-C(=O)N(G^2)_2$ ,  $-S(=O)_2G^2$ ,  $-S(=O)_2OG^2$ ,  $-S(=O)_2N(G^2)_2$ ,  $-S(=O)G^1$ ,  $-OC(=O)G^1$ ,  $-OC(=O)N(G^2)_2$ ,  $-NHC(=O)G^2$ ,  $-OS(=O)_2G^1$ ,  $-NHS(=O)_2G^1$  and  $-C(=O)NHS(=O)_2G^1$

5 (in the substituent group (B),  $G^1$  represents a  $C_{1-6}$  alkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{2-6}$  alkenyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{2-6}$  alkynyl group which may  
10 have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{3-8}$  cycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{6-10}$  aryl group which may have the same or different 1 to 3 groups selected from the following  
15 substituent group (D), a  $C_{2-9}$  heterocycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{1-9}$  heteroaryl group which may have the same or different 1 to 3 groups selected from the following substituent group (D);

20  $G^2$  represents a hydrogen atom, a  $C_{1-6}$  alkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{2-6}$  alkenyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{2-6}$  alkynyl group which may have the  
25 same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{3-8}$  cycloalkyl group which may have the same or different 1 to 3 groups selected from the following substituent group (C), a  $C_{6-10}$  aryl group which may have the same or different 1 to 3 groups selected from the following  
30 substituent group (D), a  $C_{2-9}$  heterocycloalkyl group which may

have the same or different 1 to 3 groups selected from the following  
 substituent group (D), a C<sub>1-9</sub> heteroaryl group which may have  
 the same or different 1 to 3 groups selected from the following  
 substituent group (D), and with the proviso that G<sup>2</sup> are the same  
 5 or different when there are more than one G<sup>2</sup> in the substituents;

G<sup>3</sup> represents a C<sub>1-6</sub> alkyl group;

G<sup>4</sup> represents a C<sub>1-6</sub> alkyl group which may have the same or  
 different 1 to 3 groups selected from the following substituent  
 group (C), and with the proviso that G<sup>4</sup> are the same or different  
 10 when there are more than one G<sup>4</sup> in the substituents;

substituent group (C) consists of a halogen atom, a nitro group,  
 a cyano group, an oxo group, -G<sup>5</sup>, -OG<sup>6</sup>, -SG<sup>6</sup>, -N(G<sup>6</sup>)<sub>2</sub>, -C(=O)G<sup>6</sup>,  
 -C(=O)OG<sup>6</sup>, -C(=O)N(G<sup>6</sup>)<sub>2</sub>, -S(=O)<sub>2</sub>G<sup>6</sup>, -S(=O)<sub>2</sub>OG<sup>6</sup>, -S(=O)<sub>2</sub>N(G<sup>6</sup>)<sub>2</sub>,  
 -S(=O)G<sup>5</sup>, -OC(=O)G<sup>5</sup>, -OC(=O)N(G<sup>6</sup>)<sub>2</sub>, -NHC(=O)G<sup>6</sup>, -OS(=O)<sub>2</sub>G<sup>5</sup>,  
 15 -NHS(=O)<sub>2</sub>G<sup>5</sup> and -C(=O)NHS(=O)<sub>2</sub>G<sup>5</sup>; and

substituent group (D) consists of a halogen atom, a nitro group,  
 a cyano group, -G<sup>5</sup>, -OG<sup>6</sup>, -SG<sup>6</sup>, -N(G<sup>6</sup>)<sub>2</sub>, -C(=O)G<sup>6</sup>, -C(=O)OG<sup>6</sup>,  
 -C(=O)N(G<sup>6</sup>)<sub>2</sub>, -S(=O)<sub>2</sub>G<sup>6</sup>, -S(=O)<sub>2</sub>OG<sup>6</sup>, -S(=O)<sub>2</sub>N(G<sup>6</sup>)<sub>2</sub>, -S(=O)G<sup>5</sup>,  
 -OC(=O)G<sup>5</sup>, -OC(=O)N(G<sup>6</sup>)<sub>2</sub>, -NHC(=O)G<sup>6</sup>, -OS(=O)<sub>2</sub>G<sup>5</sup>, -NHS(=O)<sub>2</sub>G<sup>5</sup> and  
 20 -C(=O)NHS(=O)<sub>2</sub>G<sup>5</sup>

(in the substituent group (C) and/or (D), G<sup>5</sup> represents a C<sub>1-6</sub>  
 alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>3-8</sub>  
 cycloalkyl group, a C<sub>6-10</sub> aryl group, a C<sub>2-9</sub> heterocycloalkyl  
 group or a C<sub>1-9</sub> heteroaryl group; and

25 G<sup>6</sup> represents a hydrogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl  
 group, a C<sub>2-6</sub> alkynyl group, a C<sub>3-8</sub> cycloalkyl group, a C<sub>6-10</sub>  
 aryl group, a C<sub>2-9</sub> heterocycloalkyl group or a C<sub>1-9</sub> heteroaryl  
 group, and with the proviso that G<sup>6</sup> are the same or different  
 when there are more than one G<sup>6</sup> in the substituents)).

30 3. A pharmaceutical composition comprising as an active

ingredient a nitrogen-containing heterocyclic derivative as claimed in claim 1 or 2, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

4. A pharmaceutical composition as claimed in claim 3 wherein  
5 the composition is a human SGLT2 inhibitor.

5. A pharmaceutical composition as claimed in claim 4 wherein  
the composition is an agent for the prevention or treatment of  
a disease associated with hyperglycemia.

6. A pharmaceutical composition as claimed in claim 5 wherein  
10 the disease associated with hyperglycemia is selected from the  
group consisting of diabetes, diabetic complications, obesity,  
hyperinsulinemia, glucose metabolism disorders, hyperlipidemia,  
hypercholesterolemia, hypertriglyceridemia, lipid metabolism  
disorders, atherosclerosis, hypertension, congestive heart  
15 failure, edema, hyperuricemia and gout.

7. A pharmaceutical composition as claimed in claim 6 wherein  
the disease associated with hyperglycemia is diabetes.

8. A pharmaceutical composition as claimed in claim 6 wherein  
the disease associated with hyperglycemia is diabetic  
20 complications.

9. A pharmaceutical composition as claimed in claim 6 wherein  
the disease associated with hyperglycemia is obesity.

10. A method for the prevention or treatment of a disease  
associated with hyperglycemia, which comprises administering  
25 an effective amount of a nitrogen-containing heterocyclic  
derivative as claimed in claim 1 or 2, or a pharmaceutically  
acceptable salt thereof, or a prodrug thereof.

11. A use of a nitrogen-containing heterocyclic derivative  
as claimed in claim 1 or 2, or a pharmaceutically acceptable  
30 salt thereof, or a prodrug thereof for the manufacture of a

pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

12. A pharmaceutical combination which comprises (A) a nitrogen-containing heterocyclic derivative as claimed in claim 1 or 2, or a pharmaceutically acceptable salt thereof, or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, insulin or an insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, a hydroxymethyl-glutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A: cholesterol



acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

13. A pharmaceutical combination as claimed in claim 12 for the prevention or treatment of a disease associated with hyperglycemia.

14. A pharmaceutical combination as claimed in claim 13 wherein a component (B) is at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, insulin or an insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor,

a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist and an appetite suppressant, and the disease associated with hyperglycemia is diabetes.

15. A pharmaceutical combination as claimed in claim 14 wherein a component (B) is at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, insulin or an insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue and an amylin agonist.

16. A pharmaceutical combination as claimed in claim 15 wherein a component (B) is at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer and insulin or an insulin analogue.

17. A pharmaceutical combination as claimed in claim 13 wherein a component (B) is at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, insulin or an insulin analogue, a glucagon receptor antagonist,

an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-  
 5 bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, glycogen synthase kinase-3 inhibitors, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose  
 10 reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-  
 15 like growth factor-I, platelet-derived growth factor, a platelet derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an angiotensin-converting enzyme inhibitor, a neutral endo-  
 20 peptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist and a diuretic agent, and the disease associated with hyperglycemia is diabetic complications.

18. A pharmaceutical combination as claimed in claim 17 wherein  
 25 a component (B) is at least one member selected from the group consisting of an aldose reductase inhibitor, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor and an angiotensin II receptor antagonist.

19. A pharmaceutical combination as claimed in claim 13 wherein  
 30 a component (B) is at least one member selected from the group

consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, an insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, a  $\beta_3$ -adrenoceptor agonist and an appetite suppressant, and the disease associated with hyperglycemia is obesity.

20. A pharmaceutical combination as claimed in claim 19 wherein a component (B) is at least one member selected from the group consisting of a  $\beta_3$ -adrenoceptor agonist and an appetite suppressant.

21. A pharmaceutical combination as claimed in claim 20 wherein the appetite suppressant is a drug selected from the group consisting of a monoamine reuptake inhibitor, a serotonin reuptake inhibitor, a serotonin releasing stimulant, a serotonin agonist, a noradrenaline reuptake inhibitor, a noradrenaline releasing stimulant, an  $\alpha_1$ -adrenoceptor agonist, a  $\beta_2$ -adrenoceptor agonist, a dopamine agonist, a cannabinoid receptor antagonist, a  $\gamma$ -aminobutyric acid receptor antagonist, a  $H_3$ -histamine antagonist, L-histidine, leptin, a leptin analogue, a leptin receptor agonist, a melanocortin receptor agonist,  $\alpha$ -melanocyte stimulating hormone, cocaine and amphetamine-regulated transcript, mahogany protein, an

enterostatin agonist, calcitonin, calcitonin-gene-related peptide, bombesin, a cholecystokinin agonist, corticotropin-releasing hormone, a corticotropin-releasing hormone analogue, a corticotropin-releasing hormone agonist, urocortin, 5 somatostatin, a somatostatin analogue, a somatostatin receptor agonist, pituitary adenylate cyclase-activating peptide, brain-derived neurotrophic factor, ciliary neurotrophic factor, thyrotropin-releasing hormone, neurotensin, sauvagine, a neuropeptide Y antagonist, an opioid peptide antagonist, a 10 galanin antagonist, a melanin-concentrating hormone receptor antagonist, an agouti-related protein inhibitor and an orexin receptor antagonist.

22. A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering 15 an effective amount of (A) a nitrogen-containing heterocyclic derivative as claimed in claim 1 or 2, or a pharmaceutically acceptable salt thereof, or a prodrug thereof, in combination with (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption 20 inhibitor, a biguanide, an insulin secretion enhancer, insulin or an insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase 25 inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 30 agonist, amylin, an amylin analogue, an amylin agonist, an aldose

reductase inhibitor, an advanced glycation endproducts  
 formation inhibitor, a protein kinase C inhibitor, a  
 $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel  
 antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid  
 5 peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-  
 dipeptidase inhibitor, insulin-like growth factor-I,  
 platelet-derived growth factor, a platelet-derived growth  
 factor analogue, epidermal growth factor, nerve growth factor,  
 a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,  
 10 EGB-761, bimoclomol, sulodexide, Y-128, a hydroxymethyl-  
 glutaryl coenzyme A reductase inhibitor, a fibric acid derivative,  
 a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A: cholesterol  
 acyltransferase inhibitor, probcol, a thyroid hormone receptor  
 agonist, a cholesterol absorption inhibitor, a lipase inhibitor,  
 15 a microsomal triglyceride transfer protein inhibitor, a  
 lipoxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 bile acid sequestrant, a sodium/bile acid cotransporter  
 20 inhibitor, a cholesterol ester transfer protein inhibitor, an  
 appetite suppressant, an angiotensin-converting enzyme  
 inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
 II receptor antagonist, an endothelin-converting enzyme  
 inhibitor, an endothelin receptor antagonist, a diuretic agent,  
 25 a calcium antagonist, a vasodilating antihypertensive agent,  
 a sympathetic blocking agent, a centrally acting  
 antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
 antiplatelets agent, a uric acid synthesis inhibitor, a  
 uricosuric agent and a urinary alkalizer.  
 30 23. A use of (A) a nitrogen-containing heterocyclic derivative

as claimed in claim 1 or 2, or a pharmaceutically acceptable salt thereof, or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, insulin or an insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, a hydroxymethyl-glutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A: cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-

transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.